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exact bonds :
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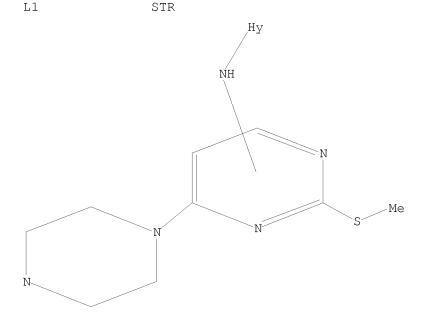
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L1 HAS NO ANSWERS



Structure attributes must be viewed using STN Express query preparation.

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10/632,428 (claim 29)

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:140796 CAPLUS

DN 142:240444

TI Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors, especially of Aurora-2 and GSK-3

IN Bebbington, David; Charrier, Jean-damien; Golec, Julian; Miller, Andrew; Knegtel, Ronald

PA UK

SO U.S. Pat. Appl. Publ., 164 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

Applicant's PGPub

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ΡI	US 20050038023	A1	20050217	US 2003-632428	20030801
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OS MARPAT 142:240444

AΒ The title compds. I [Z1 = N, CR8; Z2 = N, CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliphatic, (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepared For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μ M: GSK-3 β , AURORA-2, CDK-2, ERK2, AKT, and human Src kinase. I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

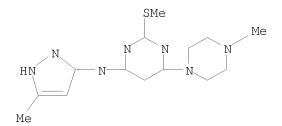
IT 438205-45-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)



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ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
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     137:169539
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     Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase
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IN
     Bebbington, David; Charrier, Jean-Damien; Golec, Julian M. C.; Miller,
     Andrew; Knegtel, Ronald
     Vertex Pharmaceuticals Incorporated, USA
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US 20030055068 A1 20030320 US 2001-26967 20011219
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US 20030078275 A1 20030424 US 2001-27001 20011219
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US 2003-624800 A3 20030722

OS MARPAT 137:169539

285 Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 AΒ of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un) substituted fused (hetero) cycle; Q = NR4, O, S, C(R6')2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un) substituted mono- or bicyclic (hetero) aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un) substituted aliphatic, (hetero) aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)20, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6', R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or <math>C(R6')2 = independentlycarbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared However, the claims pertain only to 3-(2-amino-4-pyrimidinylamino)-1Hpyrazoles, i.e. Z1 = Z2 = N, and Q = NH. I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μM : GSK-3 β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data). 438205-45-9P, [6-(4-Methylpiperazin-1-yl)-2-ΙT methylsulfanylpyrimidin-4-yl](5-methyl-1H-pyrazol-3-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

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(Uses)
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RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

10/632,428 (claim 29)

ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
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     137:109292
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     Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase
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OS MARPAT 137:109292

AΒ Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un) substituted fused (hetero) cycle; Q = NR4, O, S, C(6a) 2, 1,2-cyclo(prop/but) anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un) substituted mono- or bicyclic (hetero) aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un) substituted aliphatic, (hetero) aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SOO-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6) 2NR6CO2, CR6:NNR6, CR6:NO, C(R6) 2NR6NR6, C(R6) 2NR6SO2NR6, or C(R6) 2NR6CONR6; R6, R6a, R7 = independently H or aliphatic; or N(R6)2 orN(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 =carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μ M: GSK-3 β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438205-45-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)

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OS MARPAT 137:125169

AΒ

The title compds. I [Z1 = N, CR8; Z2 = N. CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un) substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliphatic, (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepared For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 $\mu\text{M}\text{:}$ GSK-3 β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438205-45-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)

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ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
L4
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     137:47221
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IN
     Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Everitt, Simon;
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US 2001-34683 A1 20011220 US 2003-624800 A3 20030722

OS MARPAT 137:47221

Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 AΒ and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un) substituted fused (hetero) cycle; Q = NR4, O, S, C(6a) 2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un) substituted mono- or bicyclic (hetero) aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un) substituted aliphatic, (hetero) aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliphatic; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 =carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepared I are protein kinase inhibitors, especially of Aurora-2 and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I inhibited the following kinases with Ki values reported < 20 μ M: GSK-3 β (232 compds.), AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases associated with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

IT 438205-45-9P, [6-(4-Methylpiperazin-1-y1)-2 methylsulfanylpyrimidin-4-y1](5-methyl-1H-pyrazol-3-y1)amine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(protein kinase inhibitor; preparation of (pyrimidinylamino)pyrazoles as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 438205-45-9 CAPLUS

CN 4-Pyrimidinamine, 6-(4-methyl-1-piperazinyl)-N-(5-methyl-1H-pyrazol-3-yl)-2-(methylthio)- (CA INDEX NAME)

10/632,428 (claim 29)

=> log y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 27.73 206.76

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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CA SUBSCRIBER PRICE

-4.00 -4.00

STN INTERNATIONAL LOGOFF AT 09:41:22 ON 04 AUG 2008